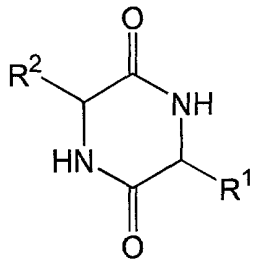
ABSTRACT

The invention provides a method of synthesizing a diketopiperazine of the formula:



wherein:

R^1 is $-CH_2COR^3$, or $-CH_2CH_2COR^3$;

R^2 is the side chain of an amino acid selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, serine, threonine, aspartic acid, asparagine, glutamic acid, glutamine, lysine, hydroxylysine, histidine, arginine, phenylalanine, tyrosine, tryptophan, thyroxine, cysteine, methionine, norvaline and ornithine;

R^3 is -OH, $-NH_2$, $-OR^4$, $-NHR^4$, or $-NR^4R^4$; and

each R^4 is independently an alkyl, aryl, alkylaryl, or arylalkyl.